

Ind ref

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1626GMS

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America  
NEWS 2 "Ask CAS" for self-help around the clock  
NEWS 3 FEB 27 New STN AnaVist pricing effective March 1, 2006  
NEWS 4 MAY 10 CA/Capplus enhanced with 1900-1906 U.S. patent records  
NEWS 5 MAY 11 KOREAPAT updates resume  
NEWS 6 MAY 19 Derwent World Patents Index to be reloaded and enhanced  
NEWS 7 MAY 30 IPC 8 Rolled-up Core codes added to CA/Capplus and  
USPATFULL/USPAT2  
NEWS 8 MAY 30 The F-Term thesaurus is now available in CA/Capplus  
NEWS 9 JUN 02 The first reclassification of IPC codes now complete in  
INPADOC  
NEWS 10 JUN 26 TULSA/TULSA2 reloaded and enhanced with new search and  
and display fields  
NEWS 11 JUN 28 Price changes in full-text patent databases EPFULL and PCTFULL  
NEWS 12 JUL 11 CHEMSAFE reloaded and enhanced  
NEWS 13 JUL 14 FSTA enhanced with Japanese patents  
NEWS 14 JUL 19 Coverage of Research Disclosure reinstated in DWPI  
NEWS 15 AUG 09 INSPEC enhanced with 1898-1968 archive  
NEWS 16 AUG 28 ADISCTI Reloaded and Enhanced  
NEWS 17 AUG 30 CA(SM)/Capplus(SM) Austrian patent law changes  
NEWS 18 SEP 11 CA/Capplus enhanced with more pre-1907 records  
NEWS 19 SEP 21 CA/Capplus fields enhanced with simultaneous left and right  
truncation  
NEWS 20 SEP 25 CA(SM)/Capplus(SM) display of CA Lexicon enhanced  
NEWS 21 SEP 25 CAS REGISTRY(SM) no longer includes Concord 3D coordinates  
NEWS 22 SEP 25 CAS REGISTRY(SM) updated with amino acid codes for pyrrolysine  
NEWS 23 SEP 28 CEABA-VTB classification code fields reloaded with new  
classification scheme  
  
NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT  
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.  
  
NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS LOGIN Welcome Banner and News Items  
NEWS IPC8 For general information regarding STN implementation of IPC 8  
NEWS X25 X.25 communication option no longer available

Enter NEWS followed by the item number or name to see news on that specific topic.

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10/08/2006 10566562h.trn

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\* \* \* \* \* STN Columbus \* \* \* \* \*

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=>

Uploading

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE

Do you want to switch to the Registry File?

Choice (Y/n):

Switching to the Registry File...

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 14:37:26 ON 08 OCT 2006

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 6 OCT 2006 HIGHEST RN 909850-02-8

DICTIONARY FILE UPDATES: 6 OCT 2006 HIGHEST RN 909850-02-8

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

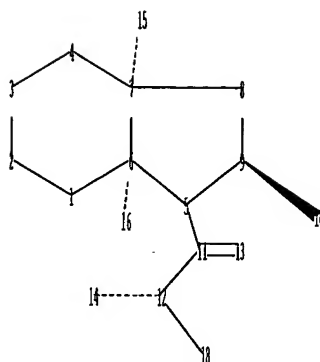
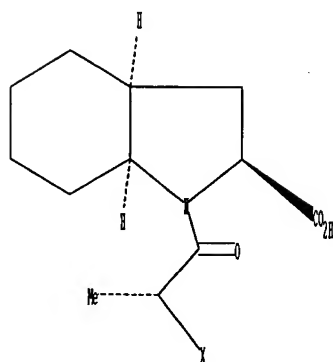
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10566562h.str



chain nodes :  
 10 11 12 13 14 15 16 18  
 ring nodes :  
 1 2 3 4 5 6 7 8 9  
 chain bonds :  
 5-11 6-16 7-15 9-10 11-12 11-13 12-14 12-18  
 ring bonds :  
 1-2 1-6 2-3 3-4 4-7 5-6 5-9 6-7 7-8 8-9  
 exact/norm bonds :  
 5-6 5-9 5-11 6-16 7-15 11-13 12-14  
 exact bonds :  
 1-2 1-6 2-3 3-4 4-7 6-7 7-8 8-9 9-10 11-12 12-18  
 isolated ring systems :  
 containing 1 :

G1:X

Match level :  
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS  
 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 18:CLASS

Stereo Bonds:

10-9 (Single Wedge).

Stereo Chiral Centers:

9 (Parity=Don't Care)

Stereo RSS Sets:

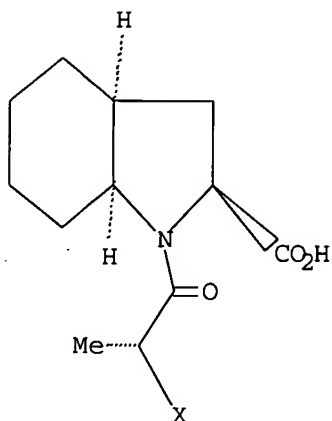
Type=Relative (Default). 1 Nodes= 9

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR



G1 X

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 14:37:40 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 32 TO ITERATE

100.0% PROCESSED 32 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 301 TO 979

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 14:37:46 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 586 TO ITERATE

100.0% PROCESSED 586 ITERATIONS

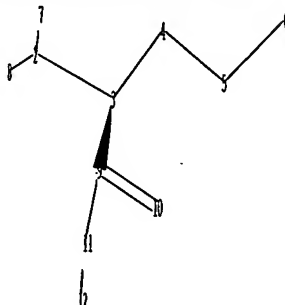
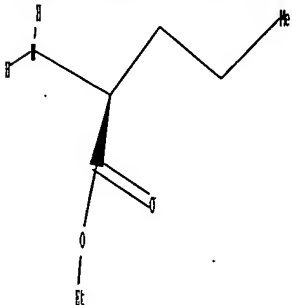
SEARCH TIME: 00.00.01

2 ANSWERS

L3 2 SEA SSS FUL L1

=>

Uploading C:\Program Files\Stnexp\Queries\10566562i.str



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chain nodes :  
2 3 4 5 6 7 8 9 10 11 12  
chain bonds :  
2-3 2-7 2-8 3-4 3-9 4-5 5-6 9-10 9-11 11-12  
exact/norm bonds :  
2-3 9-10 9-11  
exact bonds :  
2-7 2-8 3-4 3-9 4-5 5-6 11-12

G1:X

Match level :  
2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS  
11:CLASS 12:CLASS

Stereo Bonds:

9-3 (Single Wedge).

Stereo Chiral Centers:

3 (Parity=Don't Care)

Stereo RSS Sets:

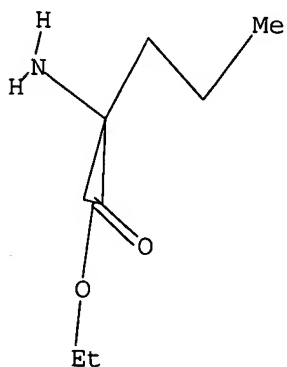
Type=Relative (Default). 1 Nodes= 3

L4 STRUCTURE UPLOADED

=> d l4

L4 HAS NO ANSWERS

L4 STR



G1 X

Structure attributes must be viewed using STN Express query preparation.

=> s l4

SAMPLE SEARCH INITIATED 14:39:16 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 7697 TO ITERATE

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26.0% PROCESSED 2000 ITERATIONS 3 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 148681 TO 159199  
PROJECTED ANSWERS: 27 TO 433

L5 3 SEA SSS SAM L4

=> s l4 sss full  
FULL SEARCH INITIATED 14:39:22 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 154664 TO ITERATE

100.0% PROCESSED 154664 ITERATIONS  
SEARCH TIME: 00.00.01

97 ANSWERS

L6 97 SEA SSS FUL L4

=> FIL HCAPLUS  
COST IN U.S. DOLLARS  
FULL ESTIMATED COST

SINCE FILE	TOTAL
ENTRY	SESSION
334.32	334.53

FILE 'HCAPLUS' ENTERED AT 14:39:27 ON 08 OCT 2006  
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FILE COVERS 1907 - 8 Oct 2006 VOL 145 ISS 16  
FILE LAST UPDATED: 6 Oct 2006 (20061006/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

(FILE 'HOME' ENTERED AT 14:37:06 ON 08 OCT 2006)

FILE 'REGISTRY' ENTERED AT 14:37:26 ON 08 OCT 2006

L1 STRUCTURE UPLOADED  
L2 0 S L1  
L3 2 S L1 SSS FULL  
L4 STRUCTURE UPLOADED

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L5 3 S L4  
L6 97 S L4 SSS FULL

FILE 'HCAPLUS' ENTERED AT 14:39:27 ON 08 OCT 2006

=> s 13 and 16

1 L3  
621 L6  
L7 0 L3 AND L6

=> FIL CASREACT

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
2.53	337.06

FULL ESTIMATED COST

FILE 'CASREACT' ENTERED AT 14:39:59 ON 08 OCT 2006

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FILE CONTENT:1840 - 8 Oct 2006 VOL 145 ISS 15

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\*\*\*\*\*  
\*  
\* CASREACT now has more than 10 million reactions \*  
\*  
\*\*\*\*\*

Some CASREACT records are derived from the ZIC/VINITI database (1974-1991) provided by InfoChem, INPI data prior to 1986, and Biotransformations database compiled under the direction of Professor Dr. Klaus Kieslich.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13 and 16

0 L3  
104 L6  
L8 0 L3 AND L6

=> FIL HCAPLUS

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
56.84	393.90

FULL ESTIMATED COST

FILE 'HCAPLUS' ENTERED AT 14:40:12 ON 08 OCT 2006

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FILE COVERS 1907 - 8 Oct 2006 VOL 145 ISS 16  
FILE LAST UPDATED: 6 Oct 2006 (20061006/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

(FILE 'HOME' ENTERED AT 14:37:06 ON 08 OCT 2006)

FILE 'REGISTRY' ENTERED AT 14:37:26 ON 08 OCT 2006

L1 STRUCTURE UPLOADED  
L2 0 S L1  
L3 2 S L1 SSS FULL  
L4 STRUCTURE UPLOADED  
L5 3 S L4  
L6 97 S L4 SSS FULL

FILE 'HCAPLUS' ENTERED AT 14:39:27 ON 08 OCT 2006

L7 0 S L3 AND L6

FILE 'CASREACT' ENTERED AT 14:39:59 ON 08 OCT 2006

L8 0 S L3 AND L6

FILE 'HCAPLUS' ENTERED AT 14:40:12 ON 08 OCT 2006

=> s perindopril

L9 1113 PERINDOPRIL

=> s l9 and process

2318870 PROCESS  
1574126 PROCESSES  
3460959 PROCESS  
(PROCESS OR PROCESSES)

L10 82 L9 AND PROCESS

=> s l10 and l3

1 L3  
L11 0 L10 AND L3

=> s l10 and l6

621 L6  
L12 5 L10 AND L6

=> s l10 and p/dt

5448375 P/DT  
L13 46 L10 AND P/DT

=> s l13 and us/pc



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1597628 US/PC

L14 20 L13 AND US/PC

=> s l14 and py<=2003

23874816 PY<=2003

L15 12 L14 AND PY<=2003

=> d l12 ibib abs hitstr tot

L12 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:332164 HCAPLUS

DOCUMENT NUMBER: 144:331697

TITLE: An improved process for the preparation of  
N-[1(S)-(ethoxycarbonyl)butyl]-L-alanine

INVENTOR(S): Chaya, Satyanaryana; Bandari, Mohan; Mathuresh, Kumar  
Sethi

PATENT ASSIGNEE(S): Matrix Laboratories Ltd., India

SOURCE: PCT Int. Appl., 9 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006006183	A2	20060119	WO 2005-IN225	20050704
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: IN 2004-CH669 A 20040712

AB An improved process for the preparation of N-[1(S)-(ethoxycarbonyl)butyl]-L-alanine from norvaline Et ester and pyruvic acid involves bubbling of hydrogen gas into the reaction mixture at atmospheric pressure

or a slightly neg. pressure at low temperature in the presence of palladium on carbon. Thus, hydrogenation of a mixture of 100 g Et L-norvalinate and 61 g pyruvic acid in aqueous solution (pH 9.5 ± 0.2) in the presence of 5 % Pd/C for 12 h at -2 to +7°C afforded 44 g of N-[1(S)-(ethoxycarbonyl)butyl]-L-alanine.

IT 39256-85-4, Ethyl L-norvalinate

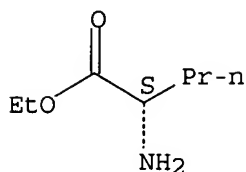
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of N-[1(S)-(ethoxycarbonyl)butyl]-L-alanine from norvaline Et ester and pyruvic acid under catalytic hydrogenation)

RN 39256-85-4 HCAPLUS

CN L-Norvaline, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2005:1117891 HCAPLUS  
 DOCUMENT NUMBER: 143:367597  
 TITLE: Process for the preparation of perindopril  
 INVENTOR(S): Kankan, Rajendra Narayanrao; Rao, Dharmaraj Ramachandra  
 PATENT ASSIGNEE(S): Neopharma Limited, UK  
 SOURCE: Brit. UK Pat. Appl., 21 pp.  
 CODEN: BAXXDU  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2413128	A1	20051019	GB 2004-8258	20040413
WO 2005100317	A1	20051027	WO 2005-GB1355	20050407

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2004-8258 A 20040413

OTHER SOURCE(S): MARPAT 143:367597

AB A process for preparing perindopril or a pharmaceutically-acceptable salt comprises coupling a 4-halo-, 4-alkoxy- or 4-nitrobenzyl ester of (2S,3aS,7aS)-2-carboxyoctahydroindole with N-[(S)-1-carbethoxybutyl]-L-alanine (1) in the presence of DCC and HOBT, followed by catalytic hydrolysis. The starting ester was obtained from (S)-indoline-2-carboxylic acid by hydrogenation-esterification and 1 was obtained from norvaline Et ester and pyruvic acid under catalytic hydrogenation conditions. The method was applied to the synthesis perindopril erbumine (20.5 g obtained from 24 g 4-chlorobenzyl ester and 21.26 g 1).

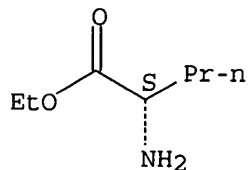
IT 40918-51-2

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of perindopril by acylation of octahydroindolecarboxylates with ethoxycarbonylbutylalanine)

RN 40918-51-2 HCAPLUS

CN L-Norvaline, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:371219 HCAPLUS

DOCUMENT NUMBER: 142:435775

TITLE: Novel method for preparation of crystalline perindopril erbumine

INVENTOR(S): ~~Singh, Girij~~-Pal; Godbole, Himanshu Madhav; Nehate, Sagar Purushottam

PATENT ASSIGNEE(S): Lupin Ltd., India

SOURCE: PCT Int. Appl., 68 pp.

CODEN: PIXXD2

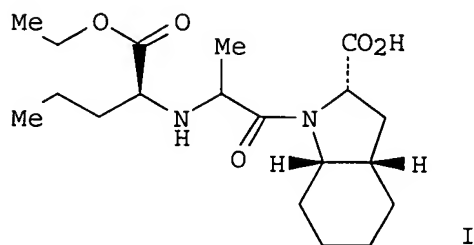
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005037788	A1	20050428	WO 2003-IN340	20031021
W: AE, AG, AL, AM, AT, <del>AU</del> , AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003300689	A1	20050505	AU 2003-300689	20031021
EP 1675827	A1	20060705	EP 2003-818870	20031021
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRIORITY APPLN. INFO.:			WO 2003-IN340	A 20031021
GI				



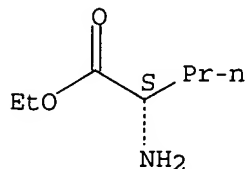
AB Crystalline perindopril erbumine (I.H2NBu-tert) is prepared and the x-ray (powder) diffraction pattern given. The process comprises reacting a solution of perindopril (I), in a solvent selected from DMF or di-Me acetals of lower aliphatic aldehydes and ketones with tertiary butylamine and crystallization of the erbumine salt thus obtained by heating the reaction mixture to reflux, filtering hot, cooling gradually to 20-30°, and further cooling to 0-15° for 30 min-1 h and finally filtering off and drying the crystals.

IT 39256-85-4  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of crystalline perindopril erbumine)

RN 39256-85-4 HCAPLUS

CN L-Norvaline, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:799452 HCAPLUS

DOCUMENT NUMBER: 141:301435

TITLE: Acidic drug complexes for improved bioavailability and delivery

INVENTOR(S): Yu, Ruey J.; Van Scott, Eugene J.

PATENT ASSIGNEE(S): USA

SOURCE: PCT Int. Appl., 33 pp.  
 CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004082628	A2	20040930	WO 2004-US8112	20040317
WO 2004082628	A3	20041119		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,  
 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,  
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,  
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,  
 BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,  
 ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,  
 SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,  
 TD, TG

US 2004220264	A1	20041104	US 2004-801134	20040316
AU 2004222305	A1	20040930	AU 2004-222305	20040317
CA 2519126	AA	20040930	CA 2004-2519126	20040317
EP 1603549	A2	20051214	EP 2004-757550	20040317

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK

PRIORITY APPLN. INFO.:

US 2003-454631P	P	20030317
US 2004-801134	A	20040316
WO 2004-US8112	A	20040317

OTHER SOURCE(S): MARPAT 141:301435

AB Embodiments of the invention relate to a composition, a process of  
 making the composition, and to the use of the composition. The compns. include  
 a

mol. complex formed between an acidic pharmaceutical drug and at least one  
 functional substance. The compns. provide improved bioavailability and  
 improved delivery of the drug into the cutaneous tissues. For example,  
 methotrexate complex with L-lysine was found to have less skin irritation  
 when applying topically to treat psoriasis on the forearm.

IT 921-74-4D, complexes with acidic drugs 2743-60-4D, Ethyl  
 leucinate, complexes with acidic drugs

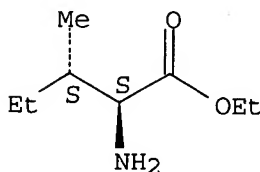
RL: COS (Cosmetic use); THU (Therapeutic use); BIOL (Biological study);  
 USES (Uses)

(topical compns. containing acidic active ingredient complexes with amino  
 acids and their derivs. for improved skin care and treatment of skin  
 conditions)

RN 921-74-4 HCAPLUS

CN L-Isoleucine, ethyl ester (9CI) (CA INDEX NAME)

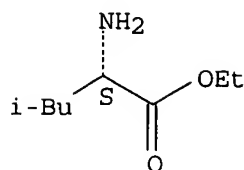
Absolute stereochemistry.



RN 2743-60-4 HCAPLUS

CN L-Leucine, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:740158 HCAPLUS

DOCUMENT NUMBER: 141:243833

TITLE: Process for preparation of perindopril and its salts

INVENTOR(S): Datta, Debashish; Singh, Girij Pal; Godbole, Himanshu Madhav; Siyan, Rajinder Singh

PATENT ASSIGNEE(S): Lupin Limited, India

SOURCE: PCT Int. Appl., 46 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004075889	A1	<del>20040910</del>	WO 2003-IN42	20030228
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2517205	AA	20040910	CA 2003-2517205	20030228
AU 2003224420	A1	20040917	AU 2003-224420	20030228
EP 1603558	A1	20051214	EP 2003-720846	20030228
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006519168	T2	20060824	JP 2004-568714	20030228
PRIORITY APPLN. INFO.:			WO 2003-IN42	W 20030228

OTHER SOURCE(S): CASREACT 141:243833; MARPAT 141:243833

AB A process for the preparation of perindopril and its salts involves reaction of N-[1(S)-(ethoxycarbonyl)butyl]-L-alanyl chloride (I) or bromide with (2S)-indolinecarboxylic acid benzyl ester or its hexahydro derivative, followed by catalytic hydrogenation. Thus, perindopril benzyl ester was prepared by adding a slurry of 1.88 g I (preparation given)

to a solution of 1.6 g (2S,3aS,7aS)-octahydroindole-2-carboxylic acid benzyl ester and triethylamine in CH<sub>2</sub>Cl<sub>2</sub> at -10 to 15° over 25-30 min. Hydrogenation of the benzyl ester over 10% Pd-C afforded 1.3 g perindopril.

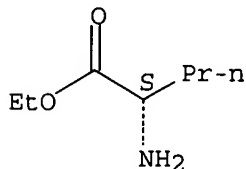
IT 39256-85-4

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of perindopril and its salts)

10/08/2006 10566562h.trn

RN 39256-85-4 HCAPLUS  
CN L-Norvaline, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l15 ibib abs hitstr tot

L15 ANSWER 1 OF 12 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:947713 HCAPLUS

DOCUMENT NUMBER: 139:381760

TITLE: Method for synthesis of perindopril and its  
pharmaceutically acceptable salts

INVENTOR(S): Dubuffet, Thierry; Lecouve, Jean-Pierre

PATENT ASSIGNEE(S): Les Laboratoires Servier, Fr.

SOURCE: Eur. Pat. Appl., 8 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1367061	A1	20031203	EP 2003-291601	20030630 <--
EP 1367061	B1	20060104		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
AT 315043	E	20060215	AT 2003-291601	20030630
ES 2256689	T3	20060716	ES 2003-3291601	20030630
AU 2004253721	A1	20050113	AU 2004-253721	20040628
WO 2005003153	A1	20050113	WO 2004-FR1637	20040628
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CN 1802384	A	20060712	CN 2004-80016014	20040628
US 2006178421	A1	20060810	US 2005-562490	20051222 <--
PRIORITY APPLN. INFO.:			EP 2003-291601	A 20030630
			WO 2004-FR1637	W 20040628
OTHER SOURCE(S):			CASREACT 139:381760; MARPAT 139:381760	

AB A method for the synthesis of perindopril and its pharmaceutically-acceptable salts (e.g., the tert-butylamine) involves cyclocondensation reaction of N-[(S)-1-carbethoxybutyl]-(S)-alanine with sulfinyl chlorides R1SOCl (R1 = imidazolyl, benimidazolyl, or tetrazolyl) to give Et (2S)-2-[(4S)-4-methyl-2,5-dioxo-1,2,3-oxathiazolidin-3-yl]pentanoate, which is amidated with (2S)-2,3,4,5,6,7-hexahydro-1H-indole-2-carboxylic acid and hydrogenated over 10% Pt/C to give perindopril.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 2 OF 12 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:912601 HCAPLUS  
DOCUMENT NUMBER: 139:386393  
TITLE: Stable formulations of angiotensin converting enzyme (ACE) inhibitors  
INVENTOR(S): Stofik, Scott; Gwozdz, Robert; Pelloni, Christopher; James, John C.  
PATENT ASSIGNEE(S): USA  
SOURCE: U.S. Pat. Appl. Publ., 7 pp.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003215526	A1	20031120	US 2003-384246	20030307 <--
PRIORITY APPLN. INFO.:			US 2002-362737P	P 20020308

AB Disclosed are a stable pharmaceutical composition comprising (1) a therapeutically effective amount of an angiotensin converting enzyme (ACE) inhibitor which is susceptible to degradation or its salt; (2) a greater than stoichiometric amount of an alkali or alkaline earth metal carbonate, relative to the amount of ACE inhibitor or its salt; and (3) a pharmaceutically acceptable carrier; and a process for the manufacture of such compns. For example, moexipril·HCl was intimately blended with NaHCO<sub>3</sub> prior to wet granulation to give granules containing moexipril·HCl 15, NaHCO<sub>3</sub> 1.2, lactose monohydrate 150.3, crospovidone 6, and pregelatinized starch 16 parts, which were further tableted by adding Crospovidone 4 parts and Mg stearate 1 part. After storage at 40° and 75 % relative humidity for 4 wks, .apprx.0.4 % degradation products were observed

L15 ANSWER 3 OF 12 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:609507 HCAPLUS  
DOCUMENT NUMBER: 139:149930  
TITLE: Process for the preparation of high purity perindopril and intermediates useful in its synthesis  
INVENTOR(S): Simig, Gyula; Mezei, Tibor; Porcs-Makkay, Marta; Mandi, Attila  
PATENT ASSIGNEE(S): Les Laboratoires Servier, Fr.  
SOURCE: Eur. Pat. Appl., 12 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:



PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1333026	A1	20030806	EP 2002-290206	20020130 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CA 2474003	AA	20030807	CA 2003-2474003	20030129 <--
WO 2003064388	A2	20030807	WO 2003-IB691	20030129 <--
WO 2003064388	A3	20040205		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EE 200400107	A	20041015	EE 2004-107	20030129
BR 2003007293	A	20041221	BR 2003-7293	20030129
CN 1622936	A	20050601	CN 2003-802714	20030129
US 2005119492	A1	20050602	US 2003-503272	20030129 <--
JP 2005521667	T2	20050721	JP 2003-564011	20030129
NO 2004003472	A	20040820	NO 2004-3472	20040820
BG 108858	A	20050531	BG 2004-108858	20040827
PRIORITY APPLN. INFO.:			EP 2002-290206	A 20020130
			WO 2003-IB691	W 20030129

OTHER SOURCE(S): MARPAT 139:149930

AB The invention relates to 1-[2(S)-[1(S)-(ethoxycarbonyl)butylamino]propionyl]- (3aS,7aS)octahydroindole-2(S)-carboxylic acid (perindopril) and its tert-butylamine salt, free of contaminants derivable from dicyclohexylcarbodiimide, and a process for their synthesis. The invention also relates to N-[1-(ethoxycarbonyl)butyl]-N-(alkoxycarbonyl)alanine intermediates used in the synthesis of perindopril, a known ACE inhibitor. Thus, N-[1-(ethoxycarbonyl)butyl]-N-(ethoxycarbonyl)alanine, prepared by ethoxycarbonylation of N-[1-(ethoxycarbonyl)butyl]alanine, was treated with thionyl chloride in CH<sub>2</sub>Cl<sub>2</sub> and acylated by perhydroindole-2-carboxylic acid in THF at reflux for 4-4.5 h. The product was treated with tert-butylamine to afford 55% perindopril eburmine.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 4 OF 12 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:77804 HCAPLUS

DOCUMENT NUMBER: 138:107004

TITLE: A process for the preparation of perindopril, its analogs and salts using 2,5-dioxooxazolidine intermediate compounds

INVENTOR(S): Cid, Pau

PATENT ASSIGNEE(S): Adir, Fr.

SOURCE: Eur. Pat. Appl., 11 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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EP 1279665      A2      20030129      EP 2002-16262      20020723 <--
EP 1279665      A3      20030312
R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
    IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
WO 2003010142   A2      20030206      WO 2002-EP8223      20020723 <--
WO 2003010142   A3      20030828
W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
    CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
    GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
    LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
    PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
    UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
    KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
    FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,
    CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
BR 2002011422   A      20040817      BR 2002-11422      20020723
CN 1529694      A      20040915      CN 2002-814322      20020723
JP 2005501829   T2      20050120      JP 2003-515501      20020723
ZA 2004000323   A      20050117      ZA 2004-323         20040115
US 2004248814   A1      20041209      US 2004-484672      20040712 <--
PRIORITY APPLN. INFO.:      EP 2001-500197      A 20010724
                               WO 2002-EP8223      W 20020723

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OTHER SOURCE(S): MARPAT 138:107004

AB Perindopril [(2S,3aS,7aS)-1-[(2S)-2-[(1S)-1-(ethoxycarbonyl)butylamino]propionyl]oc tahydro-1H-indole-2-carboxylic acid] or its analogs or salts were prepared by treating  $RcCH(CO_2Ra)NHCHRbCO_2H$  ( $Ra, Rb = C1-4$  alkyl,  $Rc = C1-6$ alkyl) with  $X_2C:O$  ( $X$  is a leaving group) to give a 2,5-dioxooxazolidine, which reacts with octahydro-1H-indole-2-carboxylic acid or ester to give the desired product. In an example, N,N'-carbonyldiimidazole was added to a suspension of N-[(S)-1-carbethoxybutyl]-(S)-alanine in  $CH_2Cl_2$  and the mixture kept at  $0^\circ$  for 1 h. (2S,3aS,7aS)-octahydroindole-2-carboxylic acid was added at  $-5^\circ C$  and the solution kept at this temperature for 1 h to give 80% perindopril (isolated as the tert-butylamine salt).

L15 ANSWER 5 OF 12 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:754995 HCAPLUS

DOCUMENT NUMBER: 137:268473

TITLE: Porous drug matrices and methods of manufacture thereof

INVENTOR(S): Straub, Julie; Altreuter, David; Bernstein, Howard; Chickering, Donald E.; Khattak, Sarwat; Randall, Greg

PATENT ASSIGNEE(S): Acusphere Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 20 pp., Cont.-in-part of U. S. 6,395,300.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002142050	A1	20021003	US 2002-53929	20020122 <--
US 6395300	B1	20020528	US 1999-433486	19991104 <--
EP 1642572	A1	20060405	EP 2005-27194	20000525

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, FI, CY

CN 1823737	A	20060830	CN 2005-10136940	20000525
US 6645528	B1	20031111	US 2000-694407	20001023 <--
US 6932983	B1	20050823	US 2000-706045	20001103 <--
ZA 2001010347	A	20030730	ZA 2001-10347	20011218 <--
US 2005048116	A1	20050303	US 2004-924642	20040824 <--
US 2005058710	A1	20050317	US 2004-928886	20040827 <--
PRIORITY APPLN. INFO.:			US 1999-136323P	P 19990527
			US 1999-158659P	P 19991008
			US 1999-433486	A2 19991104
			US 2000-186310P	P 20000302
			CN 2000-808161	A3 20000525
			EP 2000-939365	A3 20000525
			US 2002-53929	A3 20020122

AB Drugs, especially low aqueous solubility drugs, are provided in a porous matrix form,

preferably microparticles, which enhances dissoln. of the drug in aqueous media. The drug matrixes preferably are made using a process that includes (i) dissolving a drug, preferably a drug having low aqueous solubility, in a volatile solvent to form a drug solution, (ii) combining at least

one pore forming agent with the drug solution to form an emulsion, suspension, or second solution and hydrophilic or hydrophobic excipients that stabilize the drug and inhibit crystallization, and (iii) removing the volatile solvent and pore forming agent from the emulsion, suspension, or second solution to yield the porous matrix of drug. Hydrophobic or hydrophilic excipients may be selected to stabilize the drug in crystalline form by inhibiting crystal growth or to stabilize the drug in amorphous form by preventing crystallization. The pore forming agent can be either a volatile liquid

that is immiscible with the drug solvent or a volatile solid compound, preferably a volatile salt. In a preferred embodiment, spray drying is used to remove the solvents and the pore forming agent. The resulting porous matrix has a faster rate of dissoln. following administration to a patient, as compared to non-porous matrix forms of the drug. In a preferred embodiment, microparticles of the porous drug matrix are reconstituted with an aqueous medium and administered parenterally, or processed using standard techniques into tablets or capsules for oral administration. Thus, 5.46 g of PEG 8000, 0.545 g of prednisone, and 0.055 g of Span 40 were dissolved in 182 mL of methylene chloride. A solution of 3.27 g of ammonium bicarbonate in 18.2 mL of water was added to the organic solution (phase ratio 1:10) and homogenized for 5 min at 16,000 RPM.

The resulting emulsion was spray dried on a benchtop spray dryer using an air-atomizing nozzle and nitrogen as the drying gas.

L15 ANSWER 6 OF 12 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:504616 HCAPLUS

DOCUMENT NUMBER: 137:68194

TITLE: Thermoformable solid pharmaceutical composition for controlled release of perindopril

INVENTOR(S): Wuthrich, Patrick; Rolland, Herve; Briault, Gilles; Pichon, Gerard; Tharrault, Francois

PATENT ASSIGNEE(S): Les Laboratoires Servier, Fr.

SOURCE: PCT Int. Appl., 22 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002051407	A1	20020704	WO 2001-FR4133	20011221 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
FR 2818550	A1	20020628	FR 2000-17013	20001226 <--
FR 2818550	B1	20030207		
CA 2432896	AA	20020704	CA 2001-2432896	20011221 <--
EP 1345605	A1	20030924	EP 2001-989653	20011221 <--
EP 1345605	B1	20050720		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001016536	A	20031021	BR 2001-16536	20011221 <--
JP 2004518666	T2	20040624	JP 2002-552552	20011221
NZ 526405	A	20041224	NZ 2001-526405	20011221
AT 299704	E	20050815	AT 2001-989653	20011221
PT 1345605	T	20051130	PT 2001-989653	20011221
ES 2244672	T3	20051216	ES 2001-1989653	20011221
ZA 2003004405	A	20040625	ZA 2003-4405	20030605
NO 2003002738	A	20030616	NO 2003-2738	20030616 <--
US 2004115227	A1	20040617	US 2003-451937	20030626 <--
HK 1063739	A1	20060113	HK 2004-106635	20040903
PRIORITY APPLN. INFO.:			FR 2000-17013	A 20001226
			WO 2001-FR4133	W 20011221

AB The invention concerns a novel solid pharmaceutical composition, with controlled release, obtained by hot-process thermoforming of a mixture based on polymers belonging to the polymethacrylate family, and perindopril or one of its pharmaceutically acceptable salts. Controlled-release pharmaceutical were prepared by extrusion of 2% perindopril tert-butylamine salt and 98% Eudragit E-100 at 95°. Dissoln. rate of the composition was studied.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 7 OF 12 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:816626 HCAPLUS

DOCUMENT NUMBER: 135:344373

TITLE: Process for preparing the novel  $\gamma$  crystalline form of the diuretic perindopril tert-butylamine salt

INVENTOR(S): Pfeiffer, Bruno; Ginot, Yves-Michel; Coquerel, Gerard; Beilles, Stephane

PATENT ASSIGNEE(S): Adir et Compagnie, Fr.

SOURCE: PCT Int. Appl., 11 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001083439	A2	20011108	WO 2001-FR2169	20010706 <--
WO 2001083439	A3	20020207		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2811318	A1	20020111	FR 2000-8791	20000706 <--
FR 2811318	B1	20020823		
CA 2415447	AA	20011108	CA 2001-2415447	20010706 <--
AU 2001076420	A5	20011112	AU 2001-76420	20010706 <--
EP 1296948	A2	20030402	EP 2001-954060	20010706 <--
EP 1296948	B1	20030910		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001012211	A	20030506	BR 2001-12211	20010706 <--
AT 249435	E	20030915	AT 2001-954060	20010706 <--
JP 2003531890	T2	20031028	JP 2001-580868	20010706 <--
JP 3592296	B2	20041124		
PT 1296948	T	20031231	PT 2001-954060	20010706 <--
ES 2206423	T3	20040516	ES 2001-1954060	20010706
NZ 523311	A	20040625	NZ 2001-523311	20010706
EE 200300003	A	20040816	EE 2003-3	20010706
AP 1452	A	20050930	AP 2002-2709	20010706
W: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW				
US 2003158121	A1	20030821	US 2002-312903	20021231 <--
ZA 2003000025	A	20040210	ZA 2003-25	20030102
NO 2003000051	A	20030106	NO 2003-51	20030106 <--
BG 107534	A	20031231	BG 2003-107534	20030205 <--
HR 2003000078	A1	20030430	HR 2003-78	20030206 <--
HR 20030078	B1	20040630		
US 2004248817	A1	20041209	US 2004-811727	20040329 <--
JP 2005002120	A2	20050106	JP 2004-206157	20040713
PRIORITY APPLN. INFO.:				
			FR 2000-8791	A 20000706
			JP 2001-580868	A3 20010706
			WO 2001-FR2169	W 20010706
			US 2002-312903	B1 20021231

AB The  $\gamma$  crystalline form of the diuretic perindopril tert-butylamine salt (I) is prepared by refluxing a chloroform-I solution, cooling the solution to 0°, and filtering the I  $\gamma$  crystal modification which is characterized by its X-ray diffraction pattern; a I-containing formulation is presented.

L15 ANSWER 8 OF 12 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2001:564819 HCAPLUS  
 DOCUMENT NUMBER: 135:142246  
 TITLE: ACE inhibitor-vasopressin antagonist combinations  
 INVENTOR(S): Pressler, Millton Lethan  
 PATENT ASSIGNEE(S): Warner-Lambert Company, USA  
 SOURCE: PCT Int. Appl., 32 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001054677	A2	20010802	WO 2000-US32569	20001130 <--
WO 2001054677	A3	20020131		
WO 2001054677	C2	20030612		
W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2397244	AA	20010802	CA 2000-2397244	20001130 <--
AU 2001018083	A5	20010807	AU 2001-18083	20001130 <--
EP 1253945	A2	20021106	EP 2000-980880	20001130 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2000017074	A	20021203	BR 2000-17074	20001130 <--
JP 2003521496	T2	20030715	JP 2001-555655	20001130 <--
US 2003103983	A1	20030605	US 2002-130168	20020509 <--
US 2005234043	A1	20051020	US 2005-152299	20050614 <--
PRIORITY APPLN. INFO.:				
			US 2000-178169P	P 20000126
			WO 2000-US32569	W 20001130
			US 2002-130168	A1 20020509

OTHER SOURCE(S): MARPAT 135:142246

AB Combinations of ACE inhibitors and vasopressin antagonists are useful to slow and reverse the process of ventricular dilation, and chronic heart failure in mammals. The clin. efficacy of YM087 and combination of ACE inhibitors and vasopressin antagonists was established in animals and humans. A tablet contained conivaptin 25, guanapril hydrochloride 20, lactose 30, corn starch 20, and magnesium stearate 5%.

L15 ANSWER 9 OF 12 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:861473 HCAPLUS

DOCUMENT NUMBER: 134:32972

TITLE: Porous drug matrixes containing polymers and sugars and methods of their manufacture

INVENTOR(S): Straub, Julie; Bernstein, Howard; Chickering, Donald E., III; Khatak, Sarwat; Randall, Greg

PATENT ASSIGNEE(S): Acusphere, Inc., USA

SOURCE: PCT Int. Appl., 45 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000072827	A2	20001207	WO 2000-US14578	20000525 <--
WO 2000072827	A3	20010125		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,				

SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,  
 CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 6395300	B1	20020528	US 1999-433486	19991104 <--
CA 2371836	AA	20001207	CA 2000-2371836	20000525 <--
CA 2371836	C	20060131		
EP 1180020	A2	20020220	EP 2000-939365	20000525 <--
EP 1180020	B1	20051214		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, CY

BR 2000010984	A	20020430	BR 2000-10984	20000525 <--
JP 2003500438	T2	20030107	JP 2000-620939	20000525 <--
NZ 516083	A	20030829	NZ 2000-516083	20000525 <--
AU 768022	B2	20031127	AU 2000-54459	20000525 <--
AT 312601	E	20051215	AT 2000-939365	20000525
EP 1642572	A1	20060405	EP 2005-27194	20000525

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, FI, CY

ES 2250141	T3	20060416	ES 2000-939365	20000525
CN 1823737	A	20060830	CN 2005-10136940	20000525
US 2002041896	A1	20020411	US 2001-798824	20010302 <--
US 6610317	B2	20030826		
NO 2001005753	A	20020128	NO 2001-5753	20011126 <--
ZA 2001010347	A	20030730	ZA 2001-10347	20011218 <--
HK 1048956	A1	20060728	HK 2003-101310	20030220

PRIORITY APPLN. INFO.:

US 1999-136323P	P	19990527
US 1999-158659P	P	19991008
US 1999-433486	A	19991104
US 2000-186310P	P	20000302
CN 2000-808161	A3	20000525
EP 2000-939365	A3	20000525
WO 2000-US14578	W	20000525

AB Drugs, especially low aqueous solubility drugs, are provided in a porous matrix form,

preferably microparticles, which enhances dissoln. of the drug in aqueous media. The drug matrixes preferably are made using a process that includes (i) dissolving a drug, preferably a drug having low aqueous solubility, in a volatile solvent to form a drug solution, (ii) combining at

least

one pore forming agent with the drug solution to form an emulsion, suspension, or second solns., and (iii) removing the volatile solvent and pore forming agent from the emulsion, suspension, or second solution to yield the porous matrix of drug. The pore forming agent can be either a volatile liquid that is immiscible with the drug solvent or a volatile solid compound, preferably a volatile salt. In a preferred embodiment, spray drying is used to remove the solvents and the pore forming agent. The resulting porous matrix has a faster rate of dissoln. following administration to a patient, as compared to non-porous matrix forms of the drug. In a preferred embodiment, microparticles of the porous drug matrix are reconstituted with an aqueous medium and administered parenterally, or processed using standard techniques into tablets or capsules for oral administration. Paclitaxel or docetaxel can be provided in a porous matrix form, which allows the drug to be formulated without solubilizing agents and administered as a bolus. For example, a nifedipine-loaded organic solution was prepared by dissolving 9.09 g of PEG 3350, 2.27 g of nifedipine, and 0.009 g of lecithin in 182 mL of methylene chloride. An aqueous solution

was

prepared by dissolving 3.27 g of NH<sub>4</sub>HCO<sub>3</sub> and 0.91 g of PEG 3350 in 1.82 mL

of water. The aqueous and organic solns. were homogenized and resulting emulsion was spray dried. A suspension of the porous nifedipine drug matrix was prepared in 5% dextrose solution at a concentration of 2.5 mg/mL. A bolus injection of the suspension was tolerated when administrated to dogs.

L15 ANSWER 10 OF 12 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:421569 HCAPLUS

DOCUMENT NUMBER: 131:68144

TITLE: Angiotensin-converting enzyme inhibitor-matrix metalloproteinase inhibitor combinations for treatment of fibrosis, ventricular dilation, and heart failure  
 INVENTOR(S): Peterson, Joseph Thomas, Jr.; Pressler, Milton Lethan  
 PATENT ASSIGNEE(S): Warner-Lambert Company, USA  
 SOURCE: PCT Int. Appl., 156 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9932150	A1	19990701	WO 1998-US23993	19981110 <--
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2305436	AA	19990701	CA 1998-2305436	19981110 <--
AU 9915220	A1	19990712	AU 1999-15220	19981110 <--
AU 751701	B2	20020822		
BR 9814422	A	20001010	BR 1998-14422	19981110 <--
EP 1047450	A1	20001102	EP 1998-959416	19981110 <--
EP 1047450	B1	20021002		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001526245	T2	20011218	JP 2000-525140	19981110 <--
NZ 503962	A	20020328	NZ 1998-503962	19981110 <--
AT 225187	E	20021015	AT 1998-959416	19981110 <--
ES 2184340	T3	20030401	ES 1998-959416	19981110 <--
ZA 9811794	A	19990629	ZA 1998-11794	19981222 <--
US 6133304	A	20001017	US 2000-485253	20000207 <--
MX 200003736	A	20001020	MX 2000-3736	20000417 <--
NO 2000003256	A	20000622	NO 2000-3256	20000622 <--
PRIORITY APPLN. INFO.:			US 1997-68594P	P 19971223
			WO 1998-US23993	W 19981110

OTHER SOURCE(S): MARPAT 131:68144

AB Combinations of ACE inhibitors and MMP inhibitors are useful to slow and reverse the process of fibrosis, ventricular dilation, and heart failure in mammals.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 11 OF 12 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:456086 HCAPLUS



DOCUMENT NUMBER: 127:145194  
 TITLE: Combined use of angiotensin inhibitors and nitric oxide stimulators to treat fibrosis  
 INVENTOR(S): Chobanian, Aram; Brecher, Peter  
 PATENT ASSIGNEE(S): Trustees of Boston University, USA  
 SOURCE: U.S., 5 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5645839	A	19970708	US 1995-482819	19950607 <--
US 6139847	A	20001031	US 1997-801512	19970218 <--
PRIORITY APPLN. INFO.:			US 1995-482819	A3 19950607

AB A combination of angiotensin inhibitors and nitric oxide stimulators is used to slow and reverse the process of fibrosis in the body. This combination of medicaments is particularly useful in the treatment of a variety of cardiovascular fibrotic pathologies, such as that associated with left ventricular hypertrophy secondary to hypertension, myocardial infarction, and myocarditis.

L15 ANSWER 12 OF 12 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:858706 HCAPLUS  
 DOCUMENT NUMBER: 123:266119  
 TITLE: A pharmaceutical product comprising a salicylate of an esterifiable ACE-inhibitor  
 INVENTOR(S): Byrne, William; Rynne, Andrew  
 PATENT ASSIGNEE(S): Cal International Ltd., Ire.  
 SOURCE: PCT Int. Appl., 46 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9520571	A1	19950803	WO 1995-IE12	19950127 <--
W: AT, AU, BR, CA, CH, CN, DE, DK, ES, FI, GB, HU, JP, LU, NL, NO, PL, RO, RU, SE, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, IE, LU, SE, NE				
CA 2182198	AA	19950803	CA 1995-2182198	19950127 <--
AU 9516709	A1	19950815	AU 1995-16709	19950127 <--
EP 741699	A1	19961113	EP 1995-908364	19950127 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
GB 2300635	A1	19961113	GB 1996-16297	19950127 <--
GB 2300635	B2	19980617		
JP 09509150	T2	19970916	JP 1995-519969	19950127 <--
ZA 9500703	A	19950929	ZA 1995-703	19950130 <--
US 5852047	A	19981222	US 1996-682663	19960729 <--
PRIORITY APPLN. INFO.:			IE 1994-80	A 19940128
			WO 1995-IE12	A 19950127

AB Salicylates of esterifiable ACE inhibitors, especially captopril-S-aspirinate, and processes for their preparation are described. A pharmaceutical composition (e.g. capsules or tablets) contains the compds. of the invention and may also contain a diuretic and K<sup>+</sup> salts.

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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

83.73

477.63

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

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